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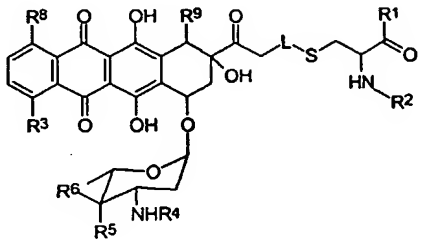
(54) Title: METHOD FOR THE SYNTHESIS OF ANTHRACYCLINE-PEPTIDE CONJUGATES



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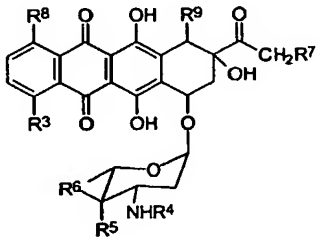

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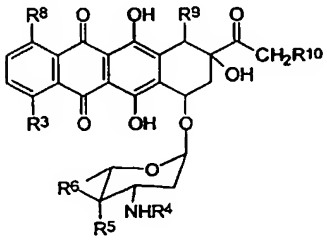
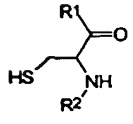


(IIa)



(III)

(57) Abstract: The present invention relates to a method for the preparation of a compound of formula (I) or pharmaceutically acceptable salts thereof and intermediates thereof, comprising the steps of: a) halogenating a compound of formula (II), resulting in compound of formula (IIa), b) reacting a compound of formula (IIa) at its 14 position with the thiol moiety of a peptide of formula (III), optionally in the presence of a suitable linker, to obtain said compound of formula (I), wherein R_1 represents OH, NH_2 or NH-peptide; R^2 represents H or -CO-peptide; R^3 represents OCH_3, OH or H; R^4 represents H, or $COCF_3$; R^5 represents OH, O-tetrahydropyranyl or H; R^6 represents OH or H; R^7 represents H, OH, $OCO(CH_2)_3CH_3$ or $OCOCH(OC_2H_5)_2$; R^8 represents OH or H; R^9 represents OH or H; R^{10} represents a halogen and L is an optional suitable linker arm.

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